

**WHAT IS CLAIMED IS:**

1. A carrier for transporting an agent attached thereto across a blood-brain barrier, wherein said carrier is able to cross the blood-brain barrier after attachment to said agent and thereby transport said agent across the blood-brain barrier.
2. The carrier according to claim 1, wherein said transporting does not affect blood-brain barrier integrity.
3. The carrier according to claim 1, wherein said carrier is selected from the group consisting of aprotinin, a functional derivative of aprotinin, Angio-pep1 and a functional derivative of Angio-pep1.
4. The carrier according to claim 1, wherein said agent is selected from the group consisting of a drug, a medicine, a protein, a peptide, an enzyme, an antibiotic, an anti-cancer agent, a molecule active at the level of the central nervous system, a radioimaging agent, an antibody, a cellular toxin, a detectable label and an anti-angiogenic compound.
5. The carrier according to claim 4, wherein said anti-cancer agent is Paclitaxel.
6. The carrier according to claim 4, wherein said detectable label is selected from the group consisting of a radioactive label, a green fluorescent protein, a histag protein and  $\beta$ -galactosidase.
7. The carrier according to claim 1, wherein said agent has a maximum molecular weight of 160,000 Daltons.

8. The carrier according to claim 1, wherein said transporting is effected by receptor-mediated transcytosis or adsorptive-mediated transcytosis.
9. The carrier according to claim 1, wherein said agent is for treatment of a neurological disease.
10. The carrier according to claim 9, wherein said neurological disease is selected from the group consisting of a brain tumor, a brain metastasis, schizophrenia, epilepsy, Alzheimer's disease, Parkinson's disease, Huntington's disease, stroke and blood-brain barrier related malfunction disease.
11. The carrier according to claim 10, wherein said blood-brain barrier related malfunction disease is obesity.
12. The carrier according to claim 1, wherein said transporting results in delivery of said agent to the central nervous system (CNS) of an individual.
13. The carrier according to claim 1, wherein said agent is releasable from said carrier after transport across the blood-brain barrier.
14. The carrier according to claim 1, wherein said agent is released from said carrier after transport across the blood-brain barrier.
15. A pharmaceutical composition for transporting an agent across a blood-brain barrier, said composition comprising a carrier according to any one of claims 1 to 14 in association with a pharmaceutically acceptable excipient.

16. A pharmaceutical composition for treating a neurological disease, said composition comprising a carrier according to any one of claims 1 to 14 in association with a pharmaceutically acceptable excipient.
17. A pharmaceutical composition for delivery of an agent to the CNS of an individual, said composition comprising a carrier according to any one of claims 1 to 14 in association with a pharmaceutically acceptable excipient.
18. A conjugate for transporting an agent across a blood-brain barrier, said conjugate comprising: (a) a carrier; and (b) an agent attached to said carrier, wherein said conjugate is able to cross said blood-brain barrier and thereby transport said agent across said blood-brain barrier.
19. The conjugate according to claim 18, wherein said transporting does not affect blood-brain barrier integrity.
20. The conjugate according to claim 18, wherein said carrier is selected from the group consisting of aprotinin, a functional derivative of aprotinin, Angio-pep1 and a functional derivative of Angio-pep1.
21. The conjugate according to claim 18, wherein said agent is selected from the group consisting of a drug, a medicine, a protein, a peptide, an enzyme, an antibiotic, an anti-cancer agent, a molecule active at the level of the central nervous system, a radioimaging agent, an antibody, a cellular toxin, a detectable label and an anti-angiogenic compound.

22. The conjugate according to claim 21, wherein said anti-cancer agent is Paclitaxel.
23. The conjugate according to claim 21, wherein said detectable label is selected from the group consisting of a radioactive label, a green fluorescent protein, a histag protein and  $\beta$ -galactosidase.
24. The conjugate according to claim 18, wherein said agent has a maximum molecular weight of 160,000 Daltons.
25. The conjugate according to claim 18, wherein said transporting is effected by receptor-mediated transcytosis or adsorptive-mediated transcytosis.
26. The conjugate according to claim 18, for use in treating a neurological disease.
27. The conjugate according to claim 26, wherein said neurological disease is selected from the group consisting of a brain tumor, a brain metastasis, schizophrenia, epilepsy, Alzheimer's disease, Parkinson's disease, Huntington's disease, stroke and blood-brain barrier related malfunction disease.
28. The conjugate according to claim 27, wherein said blood-brain barrier related malfunction disease is obesity.
29. The conjugate according to claim 18, wherein said transporting results in delivery of said agent to the central nervous system (CNS) of an individual.

30. The conjugate according to claim 18, wherein said agent is releasable from said carrier after transport across the blood-brain barrier.
31. The conjugate according to claim 18, wherein said agent is released from said carrier after transport across the blood-brain barrier.
32. A pharmaceutical composition for transporting an agent across a blood-brain barrier, said composition comprising a conjugate according to any one of claims 18 to 31 in association with a pharmaceutically acceptable excipient.
33. A pharmaceutical composition for treating a neurological disease, said composition comprising a conjugate according to any one of claims 18 to 31 in association with a pharmaceutically acceptable excipient.
34. A pharmaceutical composition for delivery of an agent to the CNS of an individual, said composition comprising a conjugate according to any one of claims 18 to 31 in association with a pharmaceutically acceptable excipient.
35. Use of a carrier for transporting an agent attached thereto across a blood-brain barrier in the manufacture of a medicament for transporting said agent across said blood-brain barrier.
36. The use according to claim 35, wherein said transporting does not affect blood-brain barrier integrity.

37. The use according to claim 35, wherein said carrier is selected from the group consisting of aprotinin, a functional derivative of aprotinin, Angio-pep1 and a functional derivative of Angio-pep1.
38. The use according to claim 35, wherein said agent is selected from the group consisting of a drug, a medicine, a protein, a peptide, an enzyme, an antibiotic, an anti-cancer agent, a molecule active at the level of the central nervous system, a radioimaging agent, an antibody, a cellular toxin, a detectable label and an anti-angiogenic compound.
39. The use according to claim 38, wherein said anti-cancer agent is Paclitaxel.
40. The use according to claim 38, wherein said detectable label is selected from the group consisting of a radioactive label, a green fluorescent protein, a histag protein and  $\beta$ -galactosidase.
41. The use according to claim 35, wherein said agent has a maximum molecular weight of 160,000 Daltons.
42. The use according to claim 35, wherein said transporting is effected by receptor-mediated transcytosis or adsorptive-mediated transcytosis.
43. The use according to claim 35, wherein said carrier is for use in the treatment of a neurological disease.
44. The use according to claim 43, wherein said neurological disease is selected from the group consisting of a brain tumor, a brain metastasis, schizophrenia, epilepsy, Alzheimer's disease,

- 42 -

Parkinson's disease, Huntington's disease, stroke and blood-brain barrier related malfunction disease.

45. The use according to claim 44, wherein said blood-brain barrier related malfunction disease is obesity.
46. The use according to claim 35, wherein said transporting results in delivery of said agent to the central nervous system (CNS) of an individual.
47. The use according to claim 35, wherein said agent is releasable from said carrier after transport across the blood-brain barrier.
48. The use according to claim 35, wherein said agent is released from said carrier after transport across the blood-brain barrier.
49. A pharmaceutical composition for transporting an agent across a blood-brain barrier, said composition comprising a medicament as defined in any one of claims 35 to 48 in association with a pharmaceutically acceptable excipient.
50. Use of a carrier for transporting an agent attached thereto across a blood-brain barrier in the manufacture of a medicament for treating a neurological disease in an individual.
51. The use according to claim 50, wherein said transporting does not affect blood-brain barrier integrity.
52. The use according to claim 50, wherein said carrier is selected from the group consisting of aprotinin, a functional derivative of aprotinin, Angio-pep1 and a functional derivative of Angio-pep1.

53. The use according to claim 50, wherein said agent is selected from the group consisting of a drug, a medicine, a protein, a peptide, an enzyme, an antibiotic, an anti-cancer agent, a molecule active at the level of the central nervous system, a radioimaging agent, an antibody, a cellular toxin, a detectable label and an anti-angiogenic compound.
54. The use according to claim 53, wherein said anti-cancer agent is Paclitaxel.
55. The use according to claim 53, wherein said detectable label is selected from the group consisting of a radioactive label, a green fluorescent protein, a histag protein and  $\beta$ -galactosidase.
56. The use according to claim 50, wherein said agent has a maximum molecular weight of 160,000 Daltons.
57. The use according to claim 50, wherein said transporting is effected by receptor-mediated transcytosis or adsorptive-mediated transcytosis.
58. The use according to claim 50, wherein said neurological disease is selected from the group consisting of a brain tumor, a brain metastasis, schizophrenia, epilepsy, Alzheimer's disease, Parkinson's disease, Huntington's disease, stroke and blood-brain barrier related malfunction disease.
59. The use according to claim 58, wherein said blood-brain barrier related malfunction disease is obesity.



- 44 -

60. The use according to claim 50, wherein said transporting results in delivery of said agent to the central nervous system (CNS) of an individual.
61. The use according to claim 50, wherein said agent is releasable from said carrier after transport across the blood-brain barrier.
62. The use according to claim 50, wherein said agent is released from said carrier after transport across the blood-brain barrier.
63. A pharmaceutical composition for treating a neurological disease, said composition comprising a medicament as defined in any one of claims 50 to 62 in association with a pharmaceutically acceptable carrier.
64. Use of a carrier for transporting an agent attached thereto across a blood-brain barrier in the manufacture of a medicament for treating a central nervous system disorder in an individual.
65. The use according to claim 64, wherein said transporting does not affect blood-brain barrier integrity.
66. The use according to claim 64, wherein said carrier is selected from the group consisting of aprotinin, a functional derivative of aprotinin, Angio-pep1 and a functional derivative of Angio-pep1.
67. The use according to claim 64, wherein said agent is selected from the group consisting of a drug, a medicine, a protein, a peptide, an enzyme, an antibiotic, an anti-cancer agent, a molecule active at the level of the central nervous system, a radioimaging agent, an antibody, a cellular toxin, a detectable label and an anti-angiogenic compound.

68. The use according to claim 67, wherein said anti-cancer agent is Paclitaxel.
69. The use according to claim 67, wherein said detectable label is selected from the group consisting of a radioactive label, a green fluorescent protein, a histag protein and  $\beta$ -galactosidase.
70. The use according to claim 64, wherein said agent has a maximum molecular weight of 160,000 Daltons.
71. The use according to claim 64, wherein said transporting is effected by receptor-mediated transcytosis or adsorptive-mediated transcytosis.
72. The use according to claim 64, wherein said transporting results in delivery of said agent to the central nervous system (CNS) of an individual.
73. The use according to claim 64, wherein said agent is releasable from said carrier after transport across the blood-brain barrier.
74. The use according to claim 64, wherein said agent is released from said carrier after transport across the blood-brain barrier.
75. A pharmaceutical composition for treating a central nervous system disorder, said composition comprising a medicament as defined in any one of claims 64 to 74 in association with a pharmaceutically acceptable excipient.
76. Conjugates of formula R-L-M or a pharmaceutically acceptable salt thereof, for transporting M across a blood-brain barrier wherein R is a carrier able to cross said blood-brain barrier after attachment to

L-M and thereby transport M across said blood-brain barrier, L is a linker or a chemical bond and M is an agent is selected from the group consisting of a drug, a medicine, a protein, a peptide, an enzyme, an antibiotic, an anti-cancer agent, a molecule active at the level of the central nervous system, a radioimaging agent, an antibody, a cellular toxin, a detectable label and an anti-angiogenic compound.

77. The conjugate according to claim 76, wherein said transporting does not affect blood-brain barrier integrity.
78. The conjugate according to claim 76, wherein said carrier is selected from the group consisting of aprotinin, a functional derivative of aprotinin, Angio-pep1 and a functional derivative of Angio-pep1.
79. The conjugate according to claim 76, wherein said detectable label is selected from the group consisting of a radioactive label, a green fluorescent protein, a histag protein and  $\beta$ -galactosidase.
80. The conjugate according to claim 76, wherein said agent has a maximum molecular weight of 160,000 Daltons.
81. The conjugate according to claim 76, wherein said transporting is effected by receptor-mediated transcytosis or adsorptive-mediated transcytosis.
82. The conjugate according to claim 76, wherein M is an agent useful for treating a neurological disease.
83. The conjugate according to claim 82, wherein said neurological disease is selected from the group consisting of a brain tumor, a

brain metastasis, schizophrenia, epilepsy, Alzheimer's disease, Parkinson's disease, Huntington's disease, stroke and blood-brain barrier related malfunction disease.

84. The use according to claim 83, wherein said blood-brain barrier related malfunction disease is obesity.
85. The conjugate according to claim 76, wherein said transporting results in delivery of said agent to the central nervous system (CNS) of an individual.
86. The conjugate according to claim 76, wherein said agent is releasable from said carrier after transport across the blood-brain barrier.
87. The conjugate according to claim 76, wherein said agent is released from said carrier after transport across the blood-brain barrier.
88. A pharmaceutical composition for transporting an agent across a blood-brain barrier, said composition comprising a conjugate according to any one of claims 76 to 87 in association with a pharmaceutically acceptable excipient.
89. A pharmaceutical composition for treating a neurological disease, said composition comprising a conjugate according to any one of claims 76 to 87 in association with a pharmaceutically acceptable excipient.
90. A pharmaceutical composition for delivery of an agent to the CNS of an individual, said composition comprising a conjugate according

to any one of claims 76 to 87 in association with a pharmaceutically acceptable excipient.

91. Use of a conjugate according to any one of claims 18 to 31 and 76 to 87 for transporting an agent attached thereto across a blood-brain barrier.
92. Use of a conjugate according to any one of claims 18 to 31 and 76 to 87 for treating a neurological disease in an individual.
93. Use of a conjugate according to any one of claims 18 to 31 and 76 to 87 for treating a central nervous system disorder in an individual.
94. A method for transporting an agent across a blood-brain barrier, which comprises the step of administering to an individual a pharmaceutical composition according to any one of claims 15, 32, 49 and 88.
95. The method of claim 94, wherein said pharmaceutical composition is administered to said individual intra-arterially, intra-nasally, intra-peritoneally, intravenously, intramuscularly, sub-cutaneously, transdermally or *per os*.
96. The method of claim 94, wherein said pharmaceutical composition is administered to said individual *per os*.
97. A method for treating a neurological disease in an individual comprising administering to said individual in need thereof a therapeutically effective amount of a pharmaceutical composition according to any one of claims 16, 33, 63 and 89.

98. The method of claim 97, wherein said pharmaceutical composition is administered to said individual intra-arterially, intra-nasally, intra-peritoneally, intravenously, intramuscularly, sub-cutaneously, transdermally or *per os*.
99. The method of claim 97, wherein said pharmaceutical composition is administered to said individual *per os*.
100. A method for treating a central nervous system disorder in an individual comprising administering to said individual in need thereof a therapeutically effective amount of a pharmaceutical composition according to any one of claims 17, 34, 75 and 90.
101. The method of claim 100, wherein said pharmaceutical composition is administered to said individual intra-arterially, intra-nasally, intra-peritoneally, intravenously, intramuscularly, sub-cutaneously, transdermally or *per os*.
102. The method of claim 100, wherein said pharmaceutical composition is administered to said individual *per os*.